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FILE 'CAPLUS' ENTERED AT 13:20:47 ON 17 AUG 2008
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FULL ESTIMATED COST                                     224.41     415.58

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=> s l3
L7         5408 L3

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           2148 L3/P
        1426467 L5
        3143612 RACT/RL
          83652 L5/RACT
                (L5 (L) RACT/RL)
L8           11 L3/P AND L5/RACT

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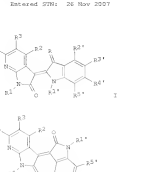
L8 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 26 Nov 2007
GI



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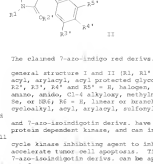


II

AB The claimed 7-azo-indigo red derivs. and 7-azo-isoindigotin derivs. have a
general structure I and II (R1, R1' = H, C1-C6 alkyl, aryl, arylalkyl, acyl, arylacyl, acyl protected glycosyl or el-glycosyl; R2, R3, R4, R5, R2', R3', R4' and R5' = H, halogen, hydroxy, mercapto, C1-4 alkyl, nitro, amino, amido, C1-4 alkyloxy, methylmercapto, Ph, phenoxy, etc.; R = O, S, Se, or NR6; R6 = H, linear or branched C1-4 alkyl, aryl, arylalkyl, C3-6 cycloalkyl, acyl, acylacyl, sulfonyl, phosphonyl). Title 7-azo-indigo red and 7-azo-isoindigotin derivs. have inhibiting activity on cell cycle protein dependent kinase, and can induce the generation of endogenous cell cycle kinase inhibiting agent to inhibit cell growth, proliferation and accelerate tumor cell apoptosis. Title 7-azo-indigo red and 7-azo-isoindigotin derivs. can be applied in preparing drug with adjuvant for treating diseases caused by cell cycle kinase disorder, cell growth and proliferation disorder such as malignant tumor, viral skin diseases, HIV, neural diseases, or disorder. The claimed compds. and their salts can be formulated as injection, tablet, pill, and capsule as medication.
ACCESSION NUMBER: 2007:1345845 CAPLUS
DOCUMENT NUMBER: 148:78880
TITLE: Method for synthesis of 7-azo-indigo red and 7-azo-isoindigotin derivatives and their medicinal

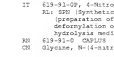
L8 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
application
INVENTOR(S): Yao, Qizheng; Wang, Chaohui; Cheng, Jingrui; Hua, Weiyi
PATENT ASSIGNEE(S): Wuxi Jixei Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 24pp.
CODEN: CNXLEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101074229	A	20071121	CN 2007-10023347	20070608
PRIORITY APPLN. INFO.:			CN 2007-10023347	20070608

IT 32253-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of azo-indigo red and azo-isoindigotin derivs. and their medicinal application as cyclin dependent kinase inhibitor)
RN 32253-75-1 CAPLUS
CN Benzoic acid, 5-bromo-2-[(carboxymethyl)amino]- (CA INDEX NAME)

IT 7664-38-2, Phosphoric acid, reactions
RL: RCT (Reagent); RACT (Reactant or reagent)
(synthesis of azo-indigo red and azo-isoindigotin derivs. and their medicinal application as cyclin dependent kinase inhibitor)
RN 7664-38-2 CAPLUS
CN Phosphoric acid (CA INDEX NAME)

L8 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 06 Jun 2007
AB The formyl group was successfully removed from N-aryl formanide by KF on a solid support of basic Al2O3 with microwave irradiation. The conditions mimicked base-catalyzed hydrolysis of formanide and were compatible with carbanates and t-Bu esters, but not Me, Et, and benzyl esters.
ACCESSION NUMBER: 2007:652534 CAPLUS
DOCUMENT NUMBER: 147:188872
TITLE: Microwave-assisted deformylation of N-aryl formanide by KF on basic Al2O3
AUTHOR(S): Ge, Yayu; Hu, Longzin
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Ernest Mario School of Pharmacy, Rutgers, the State University of New Jersey, Piscataway, NJ, 08854, USA
SOURCE: Tetrahedron Letters (2007), 48(26), 4585-4588
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:188872
IT 619-91-0P, 4-Nitrophenylaminoacetic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-(nitrophenyl)aminoacetic acid via microwave-assisted deformylation of N-formyl-N-nitrophenylaminoacetate followed by hydrolysis mediated by potassium fluoride supported on alumina)
RN 619-91-0 CAPLUS
CN Glycine, N-(4-nitrophenyl)- (CA INDEX NAME)



IT 1344-28-1D, Alumina, potassium fluoride supported on
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of anilines via microwave-assisted deformylation of N-formylanilines mediated by potassium fluoride supported on alumina)
RN 1344-28-1 CAPLUS
CN Aluminum oxide (Al2O3) (CA INDEX NAME)

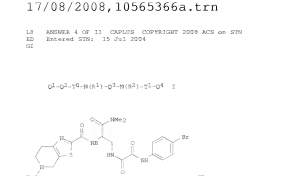
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 31 Aug 2005
AB A review of the preparation and application of N-substituted amidines (imidamides).
ACCESSION NUMBER: 2005:951697 CAPLUS
DOCUMENT NUMBER: 144:498090
TITLE: N-Alkyl-, N-Aryl-, and N-Hetaryl-substituted amidines (imidamides)
AUTHOR(S): Gatrowska, K.; Kolasa, A.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2005), 22, 379-488
CODEN: SSCY29
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
IT 1122-58-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and application of N-substituted amidines)
RN 1122-58-3 CAPLUS
CN 4-Pyridinamine, N,N-dimethyl- (CA INDEX NAME)

IT 69433-23-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and application of N-substituted amidines)
RN 69433-23-4 CAPLUS
CN Acetic acid, amino(phenylamino)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 922 THERE ARE 922 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 15 Jul 2004
GI



AB Title compds. I [X1, X2 = H, CH, alkyl, etc.; Q1 = (un)substituted (un)saturated carbocycle, (un)substituted (un)saturated heterocycle, (un)substituted (un)saturated bi or tricarbocyclic, etc.; Q2 = single bond, alkylene, alkenylene, etc.; Q3 = C(R3a)(R4a)C(R3b)(R4b)m1C(R3c)(R4c)m2C(R3d)(R4d)m3C(R3e)(R4e)m4C(R3f)(R4f); R3a, R3b, R3c, R3d, R3e, R3f, R4a, R4b, R4c, R4d, R4e, R4f = H, OH, alkyl, etc.; m1, m2, m3, m4 = 0, 1; Q4 = (un)substituted aryl, (un)substituted arylalkenyl, (un)substituted arylalkynyl, etc.; T0 = [CR2]n1, carbonyl, thiocarbonyl; n1 = 1-3; T1 = CCCCN(R'), CSCCN(R'), COCSN(R'), etc.; R' = H, OH, alkyl, etc.; and their N-oxides were prepared In human activated blood coagulation factor X inhibition assays, the IC50 value of compound II was 0.81 nM. Compds. I are claimed useful as activated blood coagulation factor X inhibitors for treatment and/or prophylaxis of cerebral infarction, cerebral embolism, etc.
ACCESSION NUMBER: 2004:585214 CAPLUS
DOCUMENT NUMBER: 141:123611
TITLE: Preparation of heterocycles containing ethylenediamine moiety as activated blood coagulation factor X inhibitors
INVENTOR(S): Nakamoto, Yuki; Yoshino, Yoshiharu; Naito, Hiroyuki; Nagata, Tsutomu; Yoshikawa, Kenji; Suzuki, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 503 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058728	A1	20040715	WO 2003-JP16556	20031224
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2511509	A1	20040715	CA 2003-2511509	20031224
AU 2003291748	A1	20040722	AU 2003-291748	20031224
EP 1577302	A1	20050921	EP 2003-781480	20031224
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1745071	A	20060308	CN 2003-80109543	20031224
IN 2005MN02674	A	20070413	IN 2005-MN2674	20050615
MX 2005PA07012	A	20050810	MX 2005-PA7012	20050624
US 20070129371	A1	20070607	US 2005-539935	20050624
PRIORITY APPLN. INFO.:			JP 2002-373025 A	20021224
			WO 2003-JP16556 W	20031224

OTHER SOURCE(S): MARPAT 141:123611
IT 12125-02-9, Ammonium chloride, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycles containing ethylenediamine moiety as activated blood coagulation factor X inhibitors for treatment and/or prophylaxis of cerebral infarction and cerebral embolism)

RN 12125-02-9 CAPLUS
CN Ammonium chloride ((NH4)Cl) (CA INDEX NAME)

Cl⁻·NH4⁺

IT 17738-71-5P 480451-72-7P 480451-91-0P
480452-14-6P 480452-17-3P 480452-20-8P
480452-21-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycles containing ethylenediamine moiety as activated blood coagulation factor X inhibitors for treatment and/or prophylaxis of cerebral infarction and cerebral embolism)

RN 17738-71-5 CAPLUS
CN Acetic acid, 2-[(4-chlorophenyl)amino]-2-oxo- (CA INDEX NAME)

L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 480451-72-7 CAPLUS
CN Acetic acid, 2-[(4-chloro-2-(trifluoromethyl)phenyl)amino]-2-oxo- (CA INDEX NAME)

RN 480451-91-0 CAPLUS
CN Acetic acid, 2-[(4-chloro-3-fluorophenyl)amino]-2-oxo- (CA INDEX NAME)

RN 480452-14-6 CAPLUS
CN Acetic acid, 2-[(4-chloro-3-methoxyphenyl)amino]-2-oxo- (CA INDEX NAME)

RN 480452-17-3 CAPLUS
CN Acetic acid, 2-[(4-ethynylphenyl)amino]-2-oxo-, sodium salt (1:1) (CA INDEX NAME)

L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

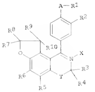
● Na

RN 480452-20-8 CAPLUS
CN Acetic acid, 2-[(4-chloro-3-nitrophenyl)amino]-2-oxo- (CA INDEX NAME)

RN 480452-21-9 CAPLUS
CN Acetic acid, 2-[(4-chloro-2-nitrophenyl)amino]-2-oxo-, sodium salt (1:1) (CA INDEX NAME)

● Na

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 08 Feb 2004
GI



I

AB The title compds. I [X represents (O)n; A represents a bond, a group represented by the formula CRa:CRb (Ra and Rb each represents hydrogen or C1-6 alkyl), etc.; R1 represents cyano or optionally esterified or amidated carboxy; R2 represents hydrogen, optionally substituted hydroxy, optionally substituted amino, etc.; R3 and R4 each represents hydrogen, etc.; R5 represents hydrogen, etc.; R6 represents optionally substituted hydroxy, etc.; R7 and R8 each represents optionally substituted hydrocarbon group, etc.; R9 and R10 each represents hydrogen, etc.; Y represents optionally substituted methylene; and n is 0 or 1] are prepared

The bioactivity of I was demonstrated. Formulations are given.

ACCESSION NUMBER: 2004:101169 CAPLUS
DOCUMENT NUMBER: 140:146121
TITLE: Preparation of furoisoquinoline derivatives as phosphodiesterase 4 inhibitors
INVENTOR(S): Inoue, Yoshihisa; Fujii, Nobuhiro; Gyoten, Michiyo; Matsumoto, Tatsumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011470	A1	20040205	WO 2003-JP9386	20030724

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

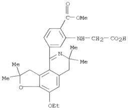
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2003281691	A1	20040216	AU 2003-281691	20030724
JP 2004067690	A	20040304	JP 2003-279166	20030724
EP 1541576	A1	20050615	EP 2003-741560	20030724

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

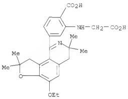
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1681823	A	20051012	CN 2003-822319	20030724
US 20060106048	A1	20060518	US 2005-522119	20051118
PRIORITY APPLN. INFO.:			JP 2002-217496	A 20020726
			WO 2003-JP9386	W 20030724

OTHER SOURCE(S): MARPAT 140:146121
IT 652996-21-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of furoisoquinoline derivs. as phosphodiesterase 4 inhibitors)
RN 652996-21-9 CAPLUS
CN Benzoic acid, 2-[(carboxymethyl)amino]-4-(6-ethoxy-3,4,8,9-tetrahydro-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl)-, 1-methyl ester (CA INDEX NAME)



IT 652996-23-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furoisoquinoline derivs. as phosphodiesterase 4 inhibitors)
RN 652996-23-1 CAPLUS

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Benzoic acid, 2-[(carboxymethyl)amino]-4-(6-ethoxy-3,4,8,9-tetrahydro-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl)- (CA INDEX NAME)



IT 12125-02-9, Ammonium chloride, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of furoisoquinoline derivs. as phosphodiesterase 4 inhibitors)
RN 12125-02-9 CAPLUS
CN Ammonium chloride ((NH4)Cl) (CA INDEX NAME)

Cl—NH4

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 31 May 2002
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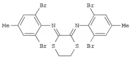


I

AB Some of the ligands associated with Group 3-11 transition metal based catalysts are characterized by a preferred substitution pattern which allows for higher productivities of highly branched olefins. Ethylene was polymerized (61°) in the presence of coordination complex of Ni(acac)2, B(C6F5)4, and ligand I to give polyethylene having number-average mol. weight 109.7 + 10-3 and melt temperature 123.5°.

ACCESSION NUMBER: 2002:409238 CAPLUS
DOCUMENT NUMBER: 137:6587
TITLE: Productivity catalysts and microstructure control in the polymerization of olefins
INVENTOR(S): MacKenzie, Peter Borden; Moody, Leslie Shane; Ponasik, James Allen; Farthing, Amy Kathryn
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 563,812.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020065192	A1	20020530	US 2001-985614	20011105
US 6559091	B1	20030506	US 2000-507492	20000218
US 6545108	B1	20030408	US 2000-563812	20000503
US 20020091210	A1	20020711	US 2001-985446	20011102
US 6605677	B2	20030812		
US 20030013894	A1	20030116	US 2001-985410	20011102
US 6706891	B2	20040316		
US 20040127658	A1	20040701	US 2003-628489	20030729
US 20040077809	A1	20040422	US 2003-648357	20030827
US 7056996	B2	20060606		
US 20050054856	A1	20050310	US 2004-931200	20040901
US 20060178490	A1	20060810	US 2006-387137	20060321
US 7319084	B2	20080115		
PRIORITY APPLN. INFO.:			US 2000-507492	A2 20000218
			US 2000-563812	A2 20000503

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

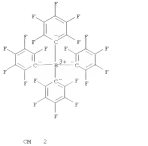
US 2000-231920P P 20000911
US 2000-240178P P 20001106
US 2000-246254P P 20001106
US 2000-246255P P 20001106
US 2001-298883P P 20010619
US 1999-121175P P 19990222
US 1999-123276P P 19990308
US 1999-123385P P 19990308
US 1999-130503P P 19990423
US 1999-145277P P 19990726
US 2001-303150P P 20010706
US 2001-985614 A3 20011105
US 2003-335995 A3 20030103
EP 2004-931200 A1 20040901

OTHER SOURCE(S): MARPAT 137:6587
IT 176040-19-2, Triphenylmethenium tetrakis(pentafluorophenyl)borate
RL: CAT (Catalyst use); RCT (Reactant); RACT (Reactant or reagent)
; USES (Uses)
(activator; productivity catalysts and microstructure control in
polymerization of olefins)
RN 176040-19-2 CAPLUS
CN Methylium, triphenyl-, tetrakis(pentafluorophenyl)borate(1-) (1:1) (CA
INDEX NAME)

CM 1

CRN 47855-94-7
CMF C24 B F20
CCI CCS

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

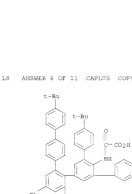


CM 2

CRN 13948-08-8
CMF C19 H15

IT 422569-37-7P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation);
RACT
(Reactant or reagent)
(productivity catalysts and microstructure control in polymerization
of
olefins)
RN 422569-37-7 CAPLUS
CN Acetic acid, [[4,4''''-bis(1,1-dimethylethyl)-6''-[4''-(1,1-
dimethylethyl)[1,1'-biphenyl]-4-yl]-5''-[4-(1,1-dimethylethyl)phenyl]-6''-
phenyl[1,1':3',1'':2'',1''':4''',1''''-quinquephenyl]-6''-yl]amino]oxo-
(9CI) (CA INDEX NAME)

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 20 Jul 2001
GI

I

AB There is disclosed a genus of non-peptidyl compds. represented by formula A-(CR2)n-Y-N(R4)-CR2R3-B-E-(CR2)m-(CR7R8)p-CO2H [A is (un)substituted C1-C6 alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl, Al-NHCONH-A2, Al-NHCO2-A2, Al-OCONH-A2, Al-NHSO2NH-A2, Al-NHCO-A2, Al-CONH-A2, A-NHSO2-A2, etc. (where A1, A2 = H, (un)substituted aryl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, cycloalkyl, heteroaryl, or heterocyclyl); E = a single bond, O, (un)substituted NR, CR:CR, C.tplbond.C, S, SO, SO2, (un)substituted CHCNR or CR2; R = Q-Q1 (proviso provided), etc. (where X = O, CO, S, SO, SO2, optionally substituted NR; X1, X2, X3 = optionally substituted CR, N; Y = a single bond, CO, CS, SO2); m = 0,1; n = 0-2; R2, R3 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-14 carbocyclyl, heterocyclyl, C1-6 alkyl-OR5, C1-6 alkyl-NR5, C1-6 alkyl-SO2R5, heteroaryl, or aryl (where R5, R6 = H, optionally substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocyclyl, CF3); R4 = H, (un)substituted C1-6 alkyl; R7 = C1-6 alkyl, (CH2)nCR5, (CH2)nCOR5, (CH2)nCONHR5, (CH2)nNHCOR5, (CH2)n CO2 R5, (CH2)nNR5SO2R5, (CH2)nNHR5, F, CF3, etc.; R8 = H, cyano, C1-6 alkyl or alkoxy). These compds. are active as potent inhibitors of the binding of very late antigen-4 (VLA-4) to proteins such as vascular cell adhesion mol.-1 (VCAM-1), the Hep2/IIICS domain (CS-1 region) of fibronectin and osteopontin (no data). They are effective for preventing, inhibiting, suppressing or reducing cell adhesion and consequent or associated pathogenic processes subsequently mediated by VLA-4. They are useful in treating inflammatory, autoimmune, and respiratory diseases which are selected from asthma, multiple sclerosis, rheumatoid arthritis, osteoarthritis, inflamatory bowel disease, psoriasis, host rejection following organ transplantation, atherosclerosis, and other diseases mediated by or associated with VLA-4. Thus, 3,5-dichlorobenzenesulfonyl chloride (86.7 mg) was added to a solution of 2-allyloxycarbonylamino-3-(3-pyrrolidin-1-yl)oxoacetyl-5-yl)propionic acid Et ester hydrochloride (110 mg) and sodium carbonate (93.5 mg) in water (1.5 mL) and stirred overnight to give 37% 2-Allyloxycarbonylamino-3-[3-[1-(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-yl]oxoacetyl-5-yl]propionic acid Et ester which (59 mg) was stirred with 2 M aqueous LiOH (0.5 mL) at room temperature for 60 min and acidified to pH 3 with 1 M HCl t give 91% 2-Allyloxycarbonylamino-3-[3-[1-(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-yl]oxazol-5-yl]propionic acid.

ACCESSION NUMBER: 2001:526075 CAPLUS

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
DOCUMENT NUMBER: 135:122506
TITLE: Preparation of 2-amino-2-(aryl or heteroaryl)propanoic acid derivatives and related compounds as non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune, and respiratory diseases
INVENTOR(S): Chupak, Louis Stanley; Duplantier, Allen Jacob; Lau, Wan Fang; Milici, Anthony John
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051487	A1	20010719	WO 2000-IB1893	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396087	A1	20010719	CA 2000-2396087	20001215
BR 2000016818	A	20021001	BR 2000-16818	20001215
EP 1244656	A1	20021002	EP 2000-983429	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201668	T2	20021121	TR 2002-1668	20001215
HU 2002003897	A2	20030328	HU 2002-3897	20001215
HU 2002003897	A3	20050628		
JP 2003519697	T	20030624	JP 2001-551869	20001215
EE 200200372	A	20031215	EE 2002-372	20001215
NZ 518886	A	20040227	NZ 2000-518886	20001215
US 20020049236	A1	20020425	US 2000-747246	20001221
IN 2002MN00591	A	20050304	IN 2002-MN591	20020509
US 20030004196	A1	20030102	US 2002-170289	20020612
US 6668527	B2	20031230		
US 20030100585	A1	20030529	US 2002-171286	20020612
US 6667331	B2	20031223		
BG 106867	A	20030228	BG 2002-106867	20020624
NO 2002003085	A	20020626	NO 2002-3085	20020626
ZA 2002005142	A	20030929	ZA 2002-5142	20020626
MX 2002PA06599	A	20020918	MX 2002-PA6599	20020628
US 20040102496	A1	20040527	US 2003-702539	20031105
US 6903128	B2	20050607		

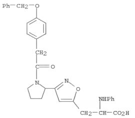
PRIORITY APPLN. INFO.: US 1999-173260P P 19991228

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
WO 2000-IB1893 W 20001215
US 2000-747246 B3 20001221
US 2002-170289 A3 20020612

OTHER SOURCE(S): MARPAT 135:122506
IT 7440-44-0P, Carbon, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amino(aryl or heteroaryl)propanoic acid derivs. and related compds. as non-peptidyl inhibitors of VLA-4 dependent cell binding for treating inflammatory, autoimmune, and respiratory diseases)
RN 7440-44-0 CAPLUS
CN Carbon (CA INDEX NAME)

C

IT 350675-18-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(aryl or heteroaryl)propanoic acid derivs. and related compds. as non-peptidyl inhibitors of VLA-4 dependent cell binding for treating inflammatory, autoimmune, and respiratory diseases)
RN 350675-18-2 CAPLUS
CN 5-Isoxazolepropanoic acid, α-(phenylamino)-3-[1-[2-[4-(phenylmethoxy)phenyl]acetyl]-2-pyrrolidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 10 Apr 2000
AB The N-phenylaminoacetate is prepared by reacting aniline, formaldehyde, NaCN, and magnesium salt (such as $MgCl_2$ or $MgSO_4$) in the presence of a phase-transfer catalyst [such as quaternary ammonium compds., crown ethers, and poly(ethylene glycol)] to form N-phenylaminoacetonitrile, and hydrolyzing the N-phenylaminoacetonitrile in base. Thus, 99 parts aniline was reacted with 30% NaCN 163.5, 37% formaldehyde 85, $MgCl_2$ 106 parts in the and presence of a phase-transfer catalyst at 50-60° for 2 h and then at 80-90° for 1 h, neutralized with HCl to pH 6.0-6.5, to form N-phenyl-aminoacetonitrile in yield 98%, which was hydrolyzed in KOH aqueous solution to give potassium N-Phenyl-aminoacetate in yield 100%.
ACCESSION NUMBER: 2000:228987 CAPLUS
DOCUMENT NUMBER: 132:223826
TITLE: Preparation of N-phenylaminoacetate used as indigo dye intermediates
INVENTOR(S): Li, Mingwei
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 5 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1197061	A	19981028	CN 1997-104054	19970423
CN 1057996	C	20001101		

PRIORITY APPLN. INFO.: CN 1997-104054 19970423

IT 19525-59-8P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-phenylaminoacetate used as indigo dye intermediates)
RN 19525-59-8 CAPLUS
CN Glycine, N-phenyl-, potassium salt (1:1) (CA INDEX NAME)

PhNH—CH_2—CO_2H

● K

IT 7786-30-3, Magnesium chloride, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-phenylaminoacetate used as indigo dye intermediates)
RN 7786-30-3 CAPLUS
CN Magnesium chloride ($MgCl_2$) (CA INDEX NAME)

Cl—Mg—Cl

L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

CRN 100-42-5
CMF C8 H8

IT 261959-67-5DP, resin-attached
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of highly substituted 5-(trifluoromethyl)ketoimidazoles using a mixed-solid/solution phase motif)
RN 261959-67-5 CAPLUS
CN Glycine, N-(2-methoxyphenyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 94800-23-4
CMF C9 H11 N O3

CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 94800-23-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of highly substituted 5-(trifluoromethyl)ketoimidazolas using a mixed-solid/solution phase motif)
RN 94800-23-4 CAPLUS
CN Glycine, N-(2-methoxyphenyl)- (CA INDEX NAME)

L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 11 Feb 2000
AB Using a combination of solid phase synthesis for the preparation of N-substituted N-acylglycines, followed by solution-phase ring transformation of trifluoroethylacyl enrichment intermediates, a library of 200 trisubstituted 5-trifluoromethylketo (TFMK) imidazoles was prepared. In a sublibrary, bromoacetate resin was treated with 5 amines in parallel to give N-substituted glycines, followed by acylation with 12 acid chlorides to provide, upon cleavage from the resin, 60 individual N-substituted N-acylglycines. The glycines were converted to enrichments by treatment with trifluoroacetic anhydride, followed by reaction with benzandiine to give trisubstituted 5-TFMK-imidazoles. The structural content of the library was analyzed using FirstView of the LCMS results, and individual members were isolated by automated preparative LCMS.
ACCESSION NUMBER: 2000:19003 CAPLUS
DOCUMENT NUMBER: 132:237027
TITLE: Synthesis of highly substituted 5-(trifluoromethyl)ketoimidazoles using a mixed-solid/solution phase motif
AUTHOR(S): Ranger, Brace C.; Jarmer, Kevin D.; Yalamanchili, Gopi; Walker, Daniel M.; Chott, Robert C.; Miscitte, Deborah A.
CORPORATE SOURCE: Monsanto Company, AG Sector, St. Louis, MO, 63167, USA
SOURCE: Biotechnology and Bioengineering (2000), 71(1), 28-37
CODEN: BIBIAU; ISSN: 0006-3592
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:237027
IT 9003-70-7D, Styrene-divinylbenzene copolymer, bromoacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of highly substituted 5-(trifluoromethyl)ketoimidazoles using a mixed-solid/solution phase motif)
RN 9003-70-7 CAPLUS
CN Benzene, diethenyl-, polymer with ethenylbenzene (CA INDEX NAME)

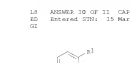
CM 1

CRN 1321-74-0
CMF C10 H10
CCI IDS

L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

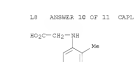
L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 15 Mar 1999
GI



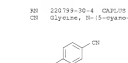
AB The title compds. I [R represents hydrogen, lower alkyl, lower alkenyl, etc; R1 represents hydrogen, hydroxy, lower alkyl, etc.; Y represents a single bond or oxygen; and R2 represents lower alkyl, etc.] are prepared 2-[N-(3-Amidinophenyl)-N-(3-methyl-2-butenyl)amino]-N-(4-isopropoxyphenyl)acetamide hydrochloride in vitro showed IC50 of 15 nM against activated blood coagulation factor X.
ACCESSION NUMBER: 1999:126670 CAPLUS
DOCUMENT NUMBER: 130:129511
TITLE: Preparation of amidinoaniline derivatives as activated blood coagulation factor X inhibitors
INVENTOR(S): Akahane, Satoshi; Uchida, Nazahiko; Izawa, Hideotoshi; Kikuchi, Norihiko; Ozawa, Tomonaga; Kobayashi, Hiroaki; Kai, Yuichiro; Akahane, Kenji
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912916	A1	19990304	WO 1998-JP3685	19980820
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301559	AA	19990304	CA 1998-2301559	19980820
AU 9887475	A	19990316	AU 1998-87475	19980820
EP 1022436	A1	20000719	EP 1998-939906	19980820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
SA 9807676	A	19990225	SA 1998-7676	19980825
MA 25000212	A	20001231	MA 2000-2012	20000225

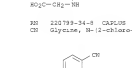
L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



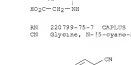
RN 220799-30-4 CAPLUS
CN Glycine, N-[5-cyano-2-fluorophenyl]- (CA INDEX NAME)



RN 220799-34-8 CAPLUS
CN Glycine, N-[2-chloro-5-cyanophenyl]- (CA INDEX NAME)



RN 220799-75-7 CAPLUS
CN Glycine, N-[5-cyano-2-[phenylmethoxy]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
PRIORITY APPLN. INFO.: JP 1997-271853 A 19970927
WO 1998-JP3685 W 19980820

OTHER SOURCE(S): MARPAT 130:295513
IT 12125-02-9, Ammonium chloride, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinoaniline derivs. as activated blood coagulation factor X inhibitors)
RN 12125-02-9 CAPLUS
CN Ammonium chloride ((NH4)Cl) (CA INDEX NAME)

IT 91192-27-7P 220798-84-5P 220799-55-7P 220799-90-4P 220799-94-8P 220799-75-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinoaniline derivs. as activated blood coagulation factor X inhibitors)
RN 91192-27-7 CAPLUS
CN Glycine, N-(3-cyanophenyl)- (CA INDEX NAME)

RN 220798-84-5 CAPLUS
CN Glycine, N-[5-cyano-2-[[(3,3-dimethylethyl)dimethylsilyl]oxy]methylphenyl]- (CA INDEX NAME)

RN 220799-25-7 CAPLUS
CN Glycine, N-(5-cyano-2-methylphenyl)- (CA INDEX NAME)

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 11 Jun 1998
GI

I II

AB New optically active spirocyclic phosphinite compds. (S)-I and (R)-II (where R can be Ph, mono- and disubstituted alkyl- or alkoxyphenyl) which are useful in asym. catalysis were synthesized and were used in the preparation of Rh catalysts. E.g., to a solution of (1S,5S,6S)-spiro[5,4]nonane-1,6-diol, 6-O,N-dimethylaminopyridine and Et3N in THF was added chlorodiphenylphosphine in THF to give a 53% yield of I (R = Ph, III); reacts with [Rh(cod)Cl]2 and AgBF4 in THF to give [Rh(cod)(S-III)] BF4 (IV). Such catalysts are particularly useful in enantioselective catalytic hydrogenation reactions, e.g., Me (Z)-2-acetamidocinnamate (0.5 mmol) was treated with IV (0.005 mmol) in THF/MeOH under 1BG KPa of hydrogen in a 50 mL autoclave to give 97% yield of Me (S)-2-acetamido-3-phenylpropanoate (95.7% e.e.).
ACCESSION NUMBER: 1998:352652 CAPLUS
DOCUMENT NUMBER: 129:54456
ORIGINAL REFERENCE NO.: 129:11355a,11356a
TITLE: Chiral spirocyclic phosphinites as ligands in enantioselective rhodium-catalyzed hydrogenation of alkenes
INVENTOR(S): Chan, Albert Sun-chi; Jiang, Yao-zhong; Ni, Ai-qiao; Yan, Ming; Ru, Wen-hao
PATENT ASSIGNEE(S): Hong Kong Polytechnic University, Hong Kong
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756799	A	19980526	US 1997-804877	19970224
PRIORITY APPLN. INFO.:			US 1997-804877	19970224

OTHER SOURCE(S): CASREACT 129:54456; MARPAT 129:54456
IT 1122-58-3

L8 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)
RN 1123-58-3 CAPLUS
CN 4-Pyridinamine, N,N-dimethyl- (CA INDEX NAME)

IT 149069-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 149069-75-0 CAPLUS
CN L-Phenylalanine, N-phenyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT